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PCT patent application in the name of Speedel Experimenta AG
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Ladies and Gentlemen

Please find below our comments relating to the amendments and the Written Opinion to be taken into account for the international preliminary examination. The demand is filed herewith; see enclosures.

A new set of claims 1 to 10 is enclosed. Said claims shall form the basis of the further procedure. In order to address the criticism with regard to the clarity of the originally filed claims, the following amendments have been carried out:
a) the proviso "where X is hydroxymethylene" has been put at the beginning of the definition of option (A) in claim 1 to ensure that the broadest definition of the substituent R1 is applicable only for the hydroxymethylene-bridge;
b) the term "polycyclic unsaturated hydrocarbon radical" comprises indeed aromatic and non-aromatic radicals which appear to be sub-groups of "unsaturated", therefore the term was left unchanged;
c) as is apparent especially from the disclosure on page 12, line 11, the list of substituent refer to both radicals (polycyclic and Heterocyclyl), therefore the analogous wording "each of which" is now used also for option (B) in claim 1;
d) at the end of option (B) in both claims 1 and 5, the proviso was reformulated as "the ring of said R^1 radicals not bonded directly to X", in order to render the substitution pattern readily understandible;
e) the term prodrug at the end of claim 1 has been further defined as "which, on *in vivo* application, release a compound of formula (I) by a chemical or physiological process," based on the disclosure page 15, lines 1 and 2, in addition said definition was put first followed by the "isotopes" and finally the "salts".

The clarifying amendments to claims 1 and 5, as outlined above, exclude any generic overlap with the prior art cited, specifically as under option (B) a specific substitution (chosen from a list) is required for both the polycyclic and the heterocyclyl radicals. An even more specific (and preferred) embodiment of option (B) is given in claim 5. It would therfore appear that the patentability requirements are fulfilled.

In view of the time constraints during the international phase, the Examiner is invited to discuss any remaining issue also by telephone or to issue an intermediate Official Action.

Very truly yours,

Maué

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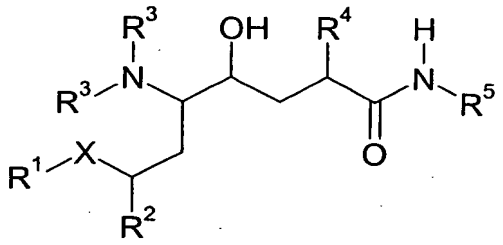
Enclosures: Demand
Claims 1 to 10 (pages 48-54; in addition pages 48, 50, 51 and 54 with changes highlighted)

Claims:

1. Compound of the general formula



(I)

where

X is $-CH_2-$ or >CH-OH;

(A) R^1 , where X is hydroxymethylene, is an optionally substituted heterocyclyl radical or an optionally substituted polycyclic, unsaturated hydrocarbon radical; or

(B) R^1 is a heterocyclyl radical or a polycyclic, unsaturated hydrocarbon radical each of which is substituted by one to four radicals selected from C_1-C_6-alkyl, C_{3-8}-cycloalkyl, C_{3-8}-cycloalkoxy, C_{3-8}-cycloalkoxy-C_{1-6}-alkyl, C_{3-8}-cycloalkoxy-C_{1-6}-alkoxy, C_1-C_6-alkylamino, di-C_1-C_6-alkylamino, amino-C_{1-6}-alkyl, amino-C_{2-7}-alkoxy, polyhalo-C_{1-6}-alkyl, polyhalo-C_{2-7}-alkoxy, nitro, amino, oxo, oxide, C_2-C_6-alkenyl, C_1-C_6-alkoxy, C_1-C_6-alkanoyloxy, hydroxy, halogen, cyano, carbamoyl, carboxyl,C_1-C_6-alkylenedioxy, phenyl, phenoxy, phenylthio, phenyl-C_1-C_6-alkyl or phenyl-C_1-C_6-alkoxy, pyridylcarbonylamino-C_{1-6}-alkyl, C_{2-7}-alkenyloxy, C_{1-6}-alkoxy-C_{1-6}-alkoxy, C_{1-6}-alkoxy-C_{1-6}-alkoxy-C_{1-6}-alkyl, methoxybenzyloxy, hydroxybenzyloxy, methylenedioxy-benzyloxy, dioxolanyl-C_{1-6}-alkoxy, C_{3-8}-cycloalkyl-C_{1-6}-alkyl, C_{3-8}-cycloalkyl-C_{1-6}-alkoxy, hydroxy-C_{2-7}-alkoxy, carbamoyloxy-C_{2-7}-alkoxy, pyridyl-carbamoyloxy-C_{2-7}-alkoxy, benzoyloxy-C_{2-7}-alkoxy, C_{1-6}-alkoxycarbonyl, C_{1-6}-alkylcarbonylamino, C_{1-6}-alkyl-carbonylamino-C_{1-6}-alkyl, C_{1-6}-alkylcarbonylamino-C_{2-7}-alkoxy, (N-C_{1-6}-alkyl)-C_{1-6}-alkylcarbonylamino-C_{1-6}-alkyl, (N-C_{1-6}-alkyl)-C_{1-6}-alkylcarbonylamino-C_{2-7}-alkoxy, C_{3-8}-cycloalkylcarbonylamino-C_{1-6}-alkyl, C_{3-8}-cycloalkylcarbonylamino-C_{2-7}-alkoxy, C_{1-6}-alkoxy-C_{1-6}-alkyl, hydroxy-C_{1-6}-alkyl, hydroxy-C_{2-7}-alkoxy-C_{1-6}-alkyl, hydroxy-C_{2-7}-alkoxy-C_{1-6}-alkoxy, C_{1-6}-alkoxycarbonylamino-C_{1-6}-alkyl, C_{1-6}-alkoxycarbonyl-amino-C_{2-7}-alkoxy, C_{1-6}-alkylaminocarbonylamino-C_{1-6}-alkyl, C_{1-6}-alkylaminocarbonyl-

amino-C_{2-7}-alkoxy, C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkyl, C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkoxy, C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkoxy-C_{1-6}-alkyl, di-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkyl, di-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkoxy, C_{1-6}-alkylcarbonyloxy-C_{1-6}-alkyl, C_{1-6}-alkylcarbonyloxy-C_{2-6}-alkoxy, cyano-C_{1-6}-alkyl, cyano-C_{1-6}-alkoxy, 2-oxo-oxazolidinyl-C_{1-6}-alkyl, 2-oxooxazolidinyl-C_{1-6}-alkoxy, C_{1-6}-alkoxycarbonyl-C_{1-6}-alkyl, C_{1-6}-alkoxycarbonyl-C_{1-6}-alkoxy, C_{1-6}-alkylsulphonylamino-C_{1-6}-alkyl, C_{1-6}-alkyl-sulphonylamino-C_{1-6}-alkoxy, (N-C_{1-6}-Alkyl)-C_{1-6}-alkylsulphonylamino-C_{1-6}-alkyl, (N-C_{1-6}-alkyl)-C_{1-6}-alkylsulphonylamino-C_{2-7}-alkoxy, C_{1-6}-alkylamino-C_{1-6}-alkyl, C_{1-6}-alkylamino-C_{2-7}-alkoxy, di-C_{1-6}-alkylamino-C_{1-6}-alkyl, di-C_{1-6}-alkylamino-C_{2-7}-alkoxy, C_{1-6}-alkylsulphonyl-C_{1-6}-alkyl, C_{1-6}-alkylsulphonyl-C_{1-6}-alkoxy, carboxy-C_{1-6}-alkyl, carboxy-C_{1-6}-alkoxy, carboxy-C_{1-6}-alkoxy-C_{1-6}-alkyl, C_{1-6}-alkoxy-C_{1-6}-alkyl-carbonyl, acyl-C_{1-6}-alkoxy-C_{1-6}-alkyl, (N-C_{1-6}-alkyl)-C_{1-6}-alkoxycarbonylamino, (N-hydroxy)-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkyl, (N-hydroxy)-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkoxy, (N-hydroxy)aminocarbonyl-C_{1-6}-alkyl, (N-hydroxy)aminocarbonyl-C_{1-6}-alkoxy, C_{1-6}-alkoxyaminocarbonyl-C_{1-6}-alkyl, 6-alkoxyaminocarbonyl-C_{1-6}-alkoxy, (N-C_{1-6}-alkoxy)-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkyl, (N-C_{1-6}-alkoxy)-C_{1-6}-alkylamino-carbonyl-C_{1-6}-alkoxy, (N-acyl)-C_{1-6}-alkoxy-C_{1-6}-alkylamino, C_{1-6}-alkoxy-C_{1-6}-alkyl-carbamoyl, (N-C_{1-6}-alkyl)-C_{1-6}-alkoxy-C_{1-6}-alkylcarbamoyl, C_{1-6}-alkoxy-C_{1-6}-alkyl-carbonyl, C_{1-6}-alkoxy-C_{1-6}-alkylcarbonylamino, (N-C_{1-6}-alkyl)-C_{1-6}-alkoxy-C_{1-6}-alkyl-carbonylamino, 1-C_{1-6}-alkoxy-C_{1-6}-alkylimidazol-2-yl, 1-C_{1-6}-alkoxy-C_{1-6}-alkyltetrazol-5-yl, 5-C_{1-6}-alkoxy-C_{1-6}-alkyltetrazol-1-yl, 2-C_{1-6}-alkoxy-C_{1-6}-alkyl-4-oxoimidazol-1-yl, carbamoyl-C_{1-6}-alkyl, carbamoyl-C_{1-6}-alkoxy, C_{1-6}-alkylcarbamoyl, di-C_{1-6}-alkyl-carbamoyl, C_{1-6}-alkylsulphonyl, C_{1-6}-alkylamidinyl, acetamidinyl-C_{1-6}-alkyl, O-methyl-oximyl-C_{1-6}-alkyl, O,N-dimethylhydroxylamino-C_{1-6}-alkyl, C_{3-6}-cycloalkyl-C_{1-6}-alkanoyl, aryl-C_{1-6}-alkanoyl or heterocyclyl-C_{1-6}-alkanoyl, each of which is optionally substituted by halogen, C_1-C_6-alkyl, C_{1-6}-alkoxy, hydroxy, C_1-C_6-alkylamino, di-C_1-C_6-alkylamino, C_{1-6}-alkoxycarbonyl, hydroxy-C_{1-6}-alkyl or trifluoromethyl, and also pyridyl, pyridyloxy, pyridylthio, pyridylamino, pyridyl-C_{1-6}-alkyl, pyridyl-C_{1-6}-alkoxy, pyrimidinyl, pyrimidinyloxy, pyrimidinylthio, pyrimidinylamino, pyrimidinyl-C_{1-6}-alkyl, pyrimidinyl-C_{1-6}-alkoxy, thienyl, thienyl-C_{1-6}-alkyl, thienyl-C_{1-6}-alkoxy, furyl, furyl-C_{1-6}-alkyl or furyl-C_{1-6}-alkoxy, piperidinoalkyl, piperidinoalkoxy, piperidinoalkoxyalkyl, morpholinoalkyl, morpholinoalkoxy, morpholinoalkoxyalkyl, piperazinoalkyl, piperazinoalkoxy, piperazinoalkoxyalkyl, [1,2,4]triazol-1-ylalkyl, [1,2,4]triazol-1-ylalkoxy, [1,2,4]triazol-4-ylalkyl, [1,2,4]triazol-4-ylalkoxy, [1,2,4]oxadiazol-5-ylalkyl, [1,2,4]oxadiazol-5-yl-alkoxy, 3-methyl[1,2,4]oxadiazol-5-ylalkyl, 3-methyl[1,2,4]oxadiazol-5-ylalkoxy,

5-methyl[1,2,4]oxadiazol-3-ylalkyl, 5-methyl[1,2,4]oxadiazol-3-ylalkoxy, tetrazol-1-ylalkyl, tetrazol-1-ylalkoxy, tetrazol-2-ylalkyl, tetrazol-2-ylalkoxy, tetrazol-5-ylalkyl, tetrazol-5-ylalkoxy, 5-methyltetrazol-1-ylalkyl, 5-methyltetrazol-1-ylalkoxy, thiazol-4-ylalkyl, thiazol-4-ylalkoxy, oxazol-4-ylalkyl, oxazol-4-ylalkoxy, 2-oxopyrrolidinylalkyl, 2-oxopyrrolidinylalkoxy, imidazolylalkyl, imidazolylalkoxy, 2-methylimidazolylalkyl, 2-methylimidazolylalkoxy or N-methylpiperazinoalkyl, N-methylpiperazinoalkoxy, N-methylpiperazinoalkoxyalkyl, dioxolanyl, dioxanyl, dithiolanyl, dithianyl, pyrrolidinyl, piperidinyl, piperazinyl, pyrrolyl, 4-methylpiperazinyl, morpholinyl, thiomorpholinyl, 2-hydroxymethylpyrrolidinyl, 3-hydroxypyrrolidinyl, 3,4-dihydroxypyrrolidinyl, 3-acetamidomethylpyrrolidinyl, 3-C_{1-6}-alkoxy-C_{1-6}-alkylpyrrolidinyl, 4-hydroxypiperidinyl, 4-oxopiperidinyl, 3,5-dimethylmorpholinyl, 4,4-dioxothiomorpholinyl, 4-oxothiomorpholinyl, 2,6-dimethylmorpholinyl, 2-oxoimidazolidinyl, 2-oxooxazolidinyl, 2-oxopyrrolidinyl, 2-oxo[1,3]oxazinyl, 2-oxotetrahydropyrimidinyl, each of which is optionally substituted by halogen, C_{1-6}-alkyl, C_{1-6}-alkoxy or dihydroxy-C_{1-6}-alkylaminocarbonyl, and the -O-$CH_2CH(OH)CH_2NR_x$ radical where NR_x is a mono- or di-C_{1-6}-alkylamino, piperidino, morpholino, piperazino or N-methylpiperazino radical,

where, in the case that R^1 is naphthyl or cyclohexenophenyl, at least the ring of said R^1 radicals not bonded directly to X is substituted as specified; or

(C) R^1 is pyrazinyl, triazolyl, imidazolyl, benzothiazolyl, pyranyl, tetrahydropyranyl, azetidinyl, morpholinyl, quinazolinyl, quinoxalinyl, isoquinolyl, benzo[b]thienyl, isobenzofuranyl, benzimidazolyl, 2-oxobenzimidazolyl, oxazolyl, thiazolyl, pyrrolyl, pyrazolyl, triazinyl, dihydrobenzofuranyl, 2-oxodihydrobenzo[d][1,3]oxazinyl, 4-oxodihydroimidazolyl, 5-oxo-4H-[1,2,4]triazinyl, 3-oxo-4H-benzo[1,4]thiazinyl, tetrahydroquinoxalinyl, 1,1,3-trioxodihydro-2H-1λ^6-benzo[1,4]thiazinyl, 1-oxo-pyridyl, dihydro-3H-benzo-[1,4]oxazinyl, 2-oxotetrahydrobenzo[e][1,4]diazepinyl, 2-oxodihydrobenzo-[e][1,4]diazepinyl, 1H-pyrrolizinyl, phthalazinyl, 1-oxo-3H-isobenzofuranyl, 4-oxo-3H-thieno[2,3-d]pyrimidinyl, 3-oxo-4H-benzo[1,4]oxazinyl, [1,5]naphthyridyl, dihydro-2H-benzo[1,4]thiazinyl, 1,1-dioxodihydro-2H-benzo[1,4]thiazinyl, 2-oxo-1H-pyrido-[2,3-b][1,4]oxazinyl, dihydro-1H-pyrido[2,3-b][1,4]oxazinyl, 1H-pyrrolo[2,3-b]pyridyl, benzo[1,3]dioxolyl, benzooxazolyl, 2-oxobenzooxazolyl, 2-oxo-1,3-dihydroindolyl, 2,3-dihydroindolyl, indazolyl, benzofuranyl, dioxolanyl, dioxanyl, dithiolanyl, dithianyl, pyrrolidinyl, piperidinyl, piperazinyl, 4-methylpiperazinyl, morpholinyl, thiomorpholinyl, 2-hydroxymethylpyrrolidinyl, 3-hydroxypyrrolidinyl, 3,4-dihydroxypyrrolidinyl, 4-hydroxypiperidinyl, 4-oxopiperidinyl, 3,5-dimethylmorpholinyl, 4,4-dioxothio-

morpholinyl, 4-oxothiomorpholinyl, 2,6-dimethylmorpholinyl, tetrahydropyranyl, 2-oxoimidazolidinyl, 2-oxooxazolidinyl, 2-oxopiperidinyl, 2-oxopyrrolidinyl, 2-oxo[1,3]oxazinyl, 2-oxoazepanyl, or 2-oxotetrahydropyrimidinyl;

R^2 is C_1-C_6-alkyl or C_3-C_6-cycloalkyl;

R^3 are each independently H, C_1-C_6-alkyl, C_{1-6}-alkoxycarbonyl or C_1-C_6-alkanoyl;

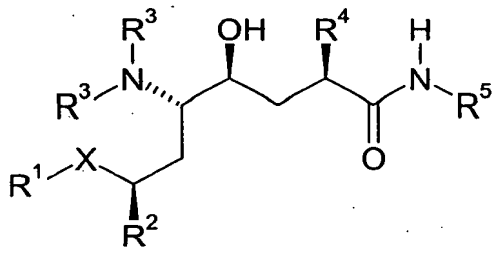
R^4 is C_1-C_6-alkyl, C_3-C_6-cycloalkyl, C_2-C_6-alkenyl or unsubstituted or substituted aryl-C_1-C_6-alkyl;

R^5 is C_1-C_6-alkyl, C_1-C_6-hydroxyalkyl, C_1-C_6-alkoxy-C_1-C_6-alkyl, C_1-C_6-alkanoyloxy-C_1-C_6-alkyl, C_1-C_6-aminoalkyl, C_1-C_6-alkylamino-C_1-C_6-alkyl, C_1-C_6-dialkylamino-C_1-C_6-alkyl, C_1-C_6-alkanoylamido-C_1-C_6-alkyl, HO(O)C-C_1-C_6-alkyl, C_1-C_6-alkyl-O-(O)C-C_1-C_6-alkyl, H_2N-C(O)-C_1-C_6-alkyl, C_1-C_6-alkyl-HN-C(O)-C_1-C_6-alkyl, (C_1-C_6-alkyl)$_2$N-C(O)-C_1-C_6-alkyl, C_2-C_8-alkenyl, C_2-C_8-alkynyl, cyano-C_1-C_6-alkyl, halo-C_1-C_6-alkyl, optionally substituted aryl-C_0-C_6-alkyl, optionally substituted C_3-C_8-cycloalkyl-C_0-C_6-alkyl or optionally substituted heterocyclyl-C_0-C_6-alkyl,

or a prodrug thereof, which, on *in vivo* application, release a compound of formula (I) by a chemical or physiological process,

or in which one or more atoms have been replaced by their stable non-radioactive isotopes, or a salt thereof, in particular a pharmaceutically usable salt thereof.

2. Compound according to Claim 1, characterized in that it is a compound of the general formula (IA)



(IA)

where R^1, R^2, R^3, R^4, R^5 and X are each as defined for the compounds of the formula (I).

3. Compound according to Claim 1 or 2, in which

X is CH_2;

R^1 is as specified for (B) or (C);

R^2 is C_1-C_6-alkyl; and

R^4 is C_1-C_6-alkyl.

4. Compound according to one of Claims 1 to 3, in which
X is CH_2;
R^1 is as specified for (B) or (C);
R^2 is C_1-C_6-alkyl;
R^3 is H;
R^4 is C_1-C_6-alkyl;
R^5 is C_1-C_6-alkyl, halo-C_1-C_6-alkyl, C_1-C_6-alkoxy-C_1-C_6-alkyl, C_2-C_8-alkynyl, cyano-C_1-C_6-alkyl, optionally substituted C_3-C_6-cycloalkyl, C_3-C_8-cycloalkyl-C_1-C_6-alkyl, optionally substituted aryl, optionally substituted heterocyclyl-C_0-C_6-alkyl which, for C_0-alkyl, is bonded via a carbon atom or H_2N-C(O)-C_1-C_6-alkyl;
or a pharmaceutical usable salt thereof.

5. Compound according to one of Claims 1 to 4, in which the R^1 radical is selected from the group consisting of benzoimidazolyl, di-C_{1-6}-alkoxypyrimidinyl, 2- or 5-benzo[b]thienyl, 6- or 7-isoquinolyl, 6- or 7-tetrahydroquinolyl, 6- or 7-tetrahydroisoquinolyl, 6-quinoxalinyl, 6- or 7-quinazolinyl, dihydro-3H-benzo[1,4]oxazinyl, 3,4-dihydro-2H-benzo[1,4]oxazinyl, 3-oxo-4H-benzo[1,4]oxazinyl, 2-oxobenzooxazolyl, 2-oxo-1,3-dihydroindolyl, 2,3-dihydroindolyl, indazolyl, benzofuranyl, 6- or 7-quinolyl, 6- or 7-isoquinolyl, 6- or 7-tetrahydroquinolyl, oxotetrahydroquinolyl, 6- or 7-tetrahydroisoquinolyl, 6-quinoxalinyl, 6- or 7-quinazolinyl, indolyl, 3-oxo-3,4-dihydro-2H-benzo[1,4]oxazinyl, 2-oxo-2,3-dihydrobenzooxazolyl, 2,3-dihydrobenzothiazinyl, imidazolyl, pyridinyl, pyrrolo[2,3-b]pyridinyl, pyrrolo[3,2-c]pyridinyl, pyrrolo[2,3-c]pyridinyl, pyrrolo[3,2-b]pyridinyl, [1,2,3]triazolo[1,5-a]pyridinyl, [1,2,4]triazolo[4,3-a] pyridinyl, imidazo[1,5-a]pyridinyl, imidazo[1,2-a]pyrimidinyl, naphthyl and cyclohexenophenyl, each of which is substituted by from one to four radicals selected from hydroxy, halogen, oxo, oxide, carbamoyl, carboxyl, cyano, trifluoromethyl, C_{1-6}-alkyl, C_{1-6}-alkoxy, hydroxy-C_{1-6}-alkoxy, C_{1-6}-alkoxy-C_{1-6}-alkyl, C_{1-6}-alkoxy-C_{1-6}-alkoxy, di-C_{1-6}-alkylamino, 2,3-dihydroxypropoxy, 2,3-dihydroxypropoxy-C_{1-6}-alkoxy, 2,3-dimethoxypropoxy, methoxybenzyloxy, hydroxy-benzyloxy, phenethyloxy, methylenedioxybenzyloxy, dioxolanyl-C_{1-6}-alkoxy, cyclopropyl-C_{1-6}-alkoxy, pyridylcarbamoyloxy-C_{1-6}-alkoxy, 3-morpholino-2-hydroxypropoxy, benzyloxy-C_{1-6}-alkoxy, picolyloxy, C_{1-6}-alkoxycarbonyl, C_{1-6}-alkoxy-C_{1-6}-alkoxy-C_{1-6}-alkyl, C_{1-6}-alkylcarbonyl-amino, C_{1-6}-alkylcarbonylamino-C_{1-6}-alkyl, C_{1-6}-alkylcarbonylamino-C_{1-6}-alkoxy, (N-C_{1-6}-alkyl)-C_{1-6}-alkylcarbonylamino-C_{1-6}-alkyl, (N-C_{1-6}-alkyl)-C_{1-6}-alkylcarbonylamino-C_{1-6}-alkoxy, C_{3-6}-cyclo-alkylcarbonylamino-C_{1-6}-alkyl, C_{3-6}-cycloalkylcarbonylamino-C_{1-6}-alkoxy, C_{1-6}-alkoxy-C_{1-6}-alkyl, hydroxy-C_{1-6}-alkyl, hydroxy-C_{1-6}-alkoxy-C_{1-6}-alkyl, hydroxy-C_{1-6}-alkoxy-C_{1-6}-alkoxy, C_{1-6}-alkoxycarbonylamino-C_{1-6}-alkyl, C_{1-6}-alkoxycarbonylamino-C_{1-6}-alkoxy, C_{1-6}-alkylamino-

carbonylamino-C_{1-6}-alkyl, C_{1-6}-alkylaminocarbonylamino-C_{1-6}-alkoxy, C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkyl, C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkoxy, C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkoxy-C_{1-6}-alkyl, di-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkyl, di-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkoxy, C_{1-6}-alkyl-carbonyloxy-C_{1-6}-alkyl, C_{1-6}-alkylcarbonyloxy-C_{1-6}-alkoxy, cyano-C_{1-6}-alkyl, cyano-C_{1-6}-alkoxy, 2-oxo-oxazolidinyl-C_{1-6}-alkyl, 2-oxooxazolidinyl-C_{1-6}-alkoxy, C_{1-6}-alkoxycarbonyl-C_{1-6}-alkyl, C_{1-6}-alkoxycarbonyl-C_{1-6}-alkoxy, C_{1-6}-alkylsulphonylamino-C_{1-6}-alkyl, C_{1-6}-alkylsulphonylamino-C_{1-6}-alkoxy, (N-C_{1-6}-alkyl)-C_{1-6}-alkylsulphonylamino-C_{1-6}-alkyl, (N-C_{1-6}-alkyl)-C_{1-6}-alkylsulphonylamino-C_{1-6}-alkoxy, C_{1-6}-alkylamino-C_{1-6}-alkyl, C_{1-6}-alkylamino-C_{1-6}-alkoxy, di-C_{1-6}-alkylamino-C_{1-6}-alkyl, di-C_{1-6}-alkylamino-C_{1-6}-alkoxy, C_{1-6}-alkylsulphonyl-C_{1-6}-alkyl, C_{1-6}-alkylsulphonyl-C_{1-6}-alkoxy, carboxy-C_{1-6}-alkyl, carboxy-C_{1-6}-alkoxy, carboxy-C_{1-6}-alkoxy-C_{1-6}-alkyl, C_{1-6}-alkoxy-C_{1-6}-alkyl-carbonyl, acyl-C_{1-6}-alkoxy-C_{1-6}-alkyl, (N-C_{1-6}-alkyl)-C_{1-6}-alkoxycarbonylamino, (N-hydroxy)-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkyl, (N-hydroxy)-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkoxy, (N-hydroxy) aminocarbonyl-C_{1-6}-alkyl, (N-hydroxy)aminocarbonyl-C_{1-6}-alkoxy, C_{1-6}-alkoxy-aminocarbonyl-C_{1-6}-alkyl, 6-alkoxyaminocarbonyl-C_{1-6}-alkoxy, (N-C_{1-6}-alkoxy)-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkyl, (N-C_{1-6}-alkoxy)-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkoxy, (N-acyl)-C_{1-6}-alkoxy-C_{1-6}-alkylamino, C_{1-6}-alkoxy-C_{1-6}-alkylcarbamoyl, (N-C_{1-6}-alkyl)-C_{1-6}-alkoxy-C_{1-6}-alkylcarbamoyl, C_{1-6}-alkoxy-C_{1-6}-alkylcarbonyl, C_{1-6}-alkoxy-C_{1-6}-alkylcarbonylamino, (N-C_{1-6}-alkyl)-C_{1-6}-alkoxy-C_{1-6}-alkylcarbonyl-amino, 1-C_{1-6}-alkoxy-C_{1-6}-alkylimidazol-2-yl, 1-C_{1-6}-alkoxy-C_{1-6}-alkyltetrazol-5-yl, 5-C_{1-6}-alkoxy-C_{1-6}-alkyltetrazol-1-yl, 2-C_{1-6}-alkoxy-C_{1-6}-alkyl-4-oxoimidazol-1-yl, carbamoyl-C_{1-6}-alkyl, carbamoyl-C_{1-6}-alkoxy, C_{1-6}-alkylcarbamoyl, di-C_{1-6}-alkylcarbamoyl, C_{1-6}-alkylsulphonyl, piperidinoalkyl, piperidinoalkoxy, piperidinoalkoxyalkyl, morpholinoalkyl, morpholinoalkoxy, morpholinoalkoxyalkyl, piperazinoalkyl, piperazinoalkoxy, piperazinoalkoxyalkyl, [1,2,4]triazol-1-ylalkyl, [1,2,4]triazol-1-ylalkoxy, [1,2,4]triazol-4-ylalkyl, [1,2,4]triazol-4-ylalkoxy, [1,2,4]oxadiazol-5-ylalkyl, [1,2,4]oxadiazol-5-ylalkoxy, 3-methyl[1,2,4]oxadiazol-5-ylalkyl, 3-methyl[1,2,4] oxadiazol-5-ylalkoxy, 5-methyl[1,2,4]oxadiazol-3-ylalkyl, 5-methyl[1,2,4]oxadiazol-3-ylalkoxy, tetrazol-1-ylalkyl, tetrazol-1-ylalkoxy, tetrazol-2-ylalkyl, tetrazol-2-ylalkoxy, tetrazol-5-ylalkyl, tetrazol-5-ylalkoxy, 5-methyltetrazol-1-ylalkyl, 5-methyltetrazol-1-ylalkoxy, thiazol-4-ylalkyl, thiazol-4-ylalkoxy, oxazol-4-ylalkyl, oxazol-4-ylalkoxy, 2-oxopyrrolidinylalkyl, 2-oxopyrrolidinyl-alkoxy, imidazolylalkyl, imidazolylalkoxy, 2-methylimidazolylalkyl, 2-methylimidazolylalkoxy, N-methylpiperazinoalkyl, N-methylpiperazinoalkoxy, N-methylpiperazinoalkoxyalkyl, pyrrolidinyl, piperidinyl, piperazinyl, pyrrolyl, 4-methylpiperazinyl, morpholinyl, thiomorpholinyl, 2-hydroxymethylpyrrolidinyl, 3-hydroxypyrrolidinyl, 3,4-dihydroxypyrrolidinyl, 3-acetamidomethyl-pyrrolidinyl, 3-C_{1-6}-alkoxy-C_{1-6}-alkylpyrrolidinyl, 4-hydroxypiperidinyl, 4-oxopiperidinyl, 3,5-dimethylmorpholinyl, 4,4-dioxothiomorpholinyl, 4-oxothiomorpholinyl, 2,6-dimethylmorpholinyl, 2-oxoimidazolidinyl, 2-oxooxazolidinyl, 2-oxopyrrolidinyl, 2-oxo-[1,3]oxazinyl and

2-oxotetrahydropyrimidinyl, where, in the case of naphthyl, or cyclohexenophenyl, at least the ring of said R^1 radicals not bonded directly to X is substituted as specified.

6. Compound according to one of Claims 1 to 5 for use in the method for the therapeutic treatment of the human or animal body.

7. Pharmaceutical preparation comprising, as an active pharmaceutical ingredient, a compound according to one of Claims 1 to 5 in free form or as a pharmaceutically usable salt.

8. Use of a compound according to one of Claims 1 to 5 for preparing a medicament for the treatment or prevention of hypertension, heart failure, and glaucoma, myocardial infarction, kidney failure or restenoses.

9. Use according to Claim 8, characterized in that the preparation is effective additionally with one or more agents having cardiovascular action, for example α- and β-blockers such as phentolamine, phenoxybenzamine, prazosin, terazosin, tolazine, atenolol, metoprolol, nadolol, propranolol, timolol, carteolol etc.; vasodilators such as hydralazine, minoxidil, diazoxide, nitroprusside, flosequinan etc.; calcium antagonists such as amrinone, bencyclan, diltiazem, fendiline, flunarizine, nicardipine, nimodipine, perhexilene, verapamil, gallopamil, nifedipine etc.; ACE inhibitors such as cilazapril, captopril, enalapril, lisinopril etc.; potassium activators such as pinacidil; anti-serotoninergics such as ketanserin; thromboxane-synthetase inhibitors; neutral endopeptidase inhibitors (NEP inhibitors); angiotensin II antagonists; and also diuretics such as hydrochlorothiazide, chlorothiazide, acetazolamide, amiloride, bumetanide, benzthiazide, ethacrynic acid, furosemide, indacrinone, metolazone, spironolactone, triamteren, chlorthalidone etc.; sympatholytics such as methyldopa, clonidine, guanabenz, reserpine; and other agents which are suitable for the treatment of hypertension, heart failure or vascular diseases in humans and animals which are associated with diabetes or renal disorders such as acute or chronic renal failure.

10. A method for the treatment or prevention of hypertension, heart failure, and also glaucoma, myocardial infarction, kidney failure or restenoses, characterized in that the human or animal body is treated with an effective amount of a compound according to one of Claims 1 to 5.